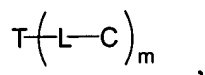


WHAT IS CLAIMED IS:

1. A compound of the following formula:



wherein

T is a transportophore,

5 L is a bond or a linker having a molecular weight up to 240 dalton,

C is a non-antibiotic therapeutic agent, and

m is 1, 2, 3, 4, 5, 6, 7, or 8,

in which the transportophore has an immune selectivity ratio of at least 2, the transportophore is covalently bonded to the non-antibiotic therapeutic agent via the bond or
10 the linker, and the compound has an immune selectivity ratio of at least 2.

2. The compound of claim 1, wherein the transportophore is an amphiphilic molecule having a pKa value of 6.5 to 9.5.

15 3. The compound of claim 1, wherein the transportophore is a cyclic or heterocyclic molecule.

4. The compound of claim 3, wherein the cyclic or heterocyclic molecule has an attached sugar.

20

5. The compound of claim 3, wherein the cyclic or herterocyclic molecule is a macrolactone or macroether.

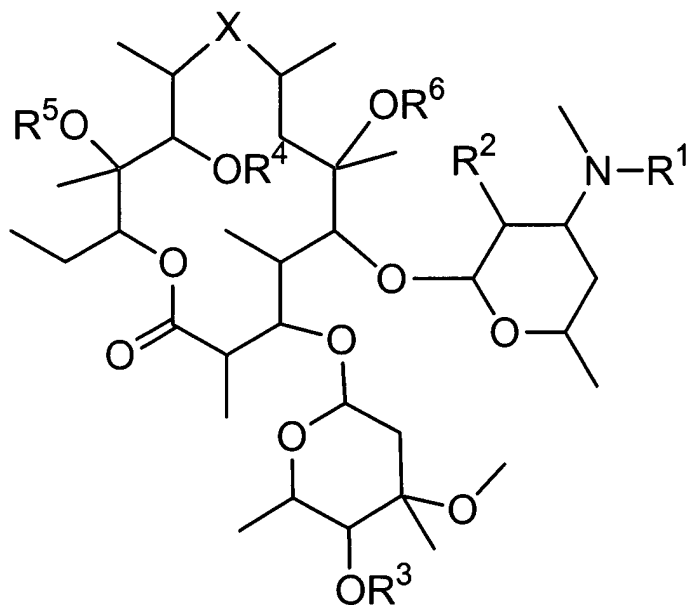
6. The compound of claim 5, wherein the macrolactone or macroether has an
25 attached sugar.

7. The compound of claim 3, wherein the cyclic or herterocyclic molecule is a macrolide or ketolide having an amino sugar.

8. The compound of claim 7, wherein the cyclic or herterocyclic molecule is a macrolide having mono-, di-, or tri-basic groups.

9. The compound of claim 1, wherein the compound is

5



wherein

$X = N(R^7)-CH_2$

10

$CH_2-N(R^7)$

$C(=O)$

$C(=NOR^8)$

$CH(OR^9)$

$CH(NR^{10}R^{11})$

15

$C(=NR^{12})$

$OC(=O)$

$C(=O)O$

$Y =$ independently linker

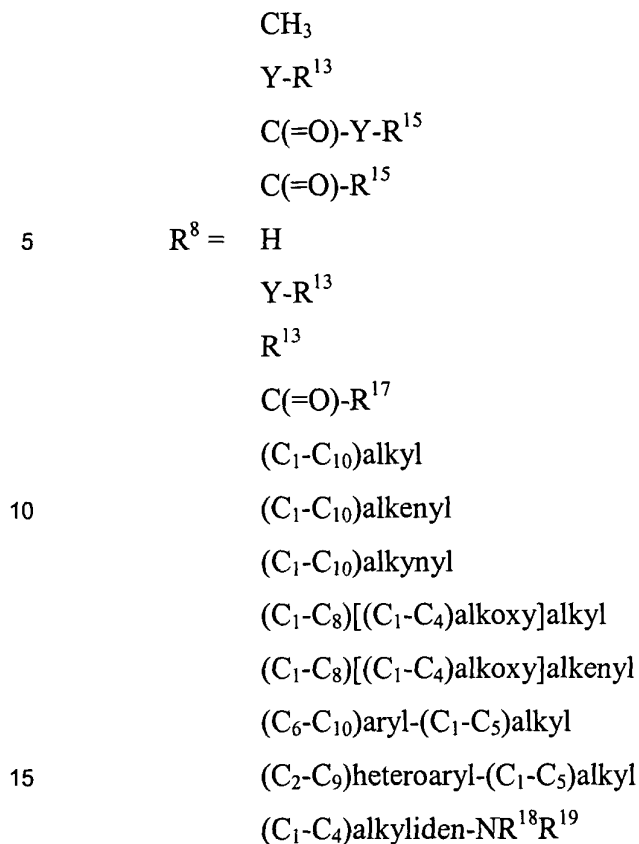
$Z = C(=O)-$

20

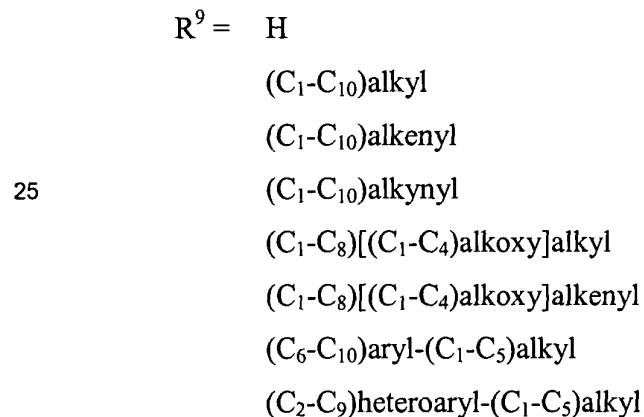
$CH(R^{16})$

$R^1 = H$

- CH_3
 $(\text{C}_2\text{-C}_{10})\text{alkyl}$
 $(\text{C}_1\text{-C}_{10})\text{alkenyl}$
 $(\text{C}_1\text{-C}_{10})\text{alkynyl}$
5 $(\text{C}_1\text{-C}_8)[(\text{C}_1\text{-C}_4)\text{alkoxy}]\text{alkyl}$
 $(\text{C}_1\text{-C}_8)[(\text{C}_1\text{-C}_4)\text{alkoxy}]\text{alkenyl}$
 $(\text{C}_6\text{-C}_{10})\text{aryl-(C}_1\text{-C}_5)\text{alkyl}$
 $(\text{C}_2\text{-C}_9)\text{heteroaryl-(C}_1\text{-C}_5)\text{alkyl}$
 $(\text{C}_1\text{-C}_4)\text{alkyliden-NR}^{18}\text{R}^{19}$
10 Y-R^{13}
 C(=O)-Y-R^{15}
 C(=O)-R^{15}
 $\text{R}^2 = \text{H}$
 $(1',2'\text{-cis})\text{-OH}$
15 $(1',2'\text{-trans})\text{-OH}$
 $(1',2'\text{-cis})\text{-OR}^{15}$
 $(1',2'\text{-trans})\text{-OR}^{15}$
 $(1',2'\text{-cis})\text{-SH}$
 $(1',2'\text{-cis})\text{-S-Y-R}^{13}$
20 or the R^1 and R^2 bearing atoms are connected via a -OC(=O)CHR^{16} - element
 $\text{R}^3 = \text{H}$
 C(=O)-Y-R^{15}
 C(=O)-R^{15}
 $\text{R}^4 = \text{H}$
25 C(=O)-Y-R^{15}
 C(=O)-R^{15}
 $\text{R}^5 = \text{H}$
or R^4, R^5 are connected by Z
 $\text{R}^6 = \text{H}$
30 CH_3
 $\text{R}^7 = \text{H}$



wherein alkyl, alkenyl, alkynyl, aryl, and heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, -NR¹⁸R¹⁹, R¹⁸C(=O)-, R¹⁸C(=O)O-, R¹⁸OC(=O)O-, R¹⁸NHC(=O)-, R¹⁸C(=O)NH-, R¹⁸R¹⁹NC(=O)- and R¹⁸OC(=O)-



wherein alkyl, alkenyl, alkynyl, aryl, and heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-

C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, -NR¹⁸R¹⁹, R¹⁸C(=O)-, R¹⁸C(=O)O-, R¹⁸OC(=O)O-, R¹⁸NHC(=O)-, R¹⁸C(=O)NH-, R¹⁸R¹⁹NC(=O)-and R¹⁸OC(=O)-

- R¹⁰, R¹¹= independently H
- 5 (C₁-C₁₀)alkyl
(C₁-C₁₀)alkenyl
(C₁-C₁₀)alkynyl
(C₁-C₈)[(C₁-C₄)alkoxy]alkyl
(C₁-C₈)[(C₁-C₄)alkoxy]alkenyl
- 10 (C₆-C₁₀)aryl-(C₁-C₅)alkyl
(C₂-C₉)heteroaryl-(C₁-C₅)alkyl
(C₁-C₄)alkyliden-NR¹⁸R¹⁹
or R¹⁰ = H and R¹¹ = -Y-R¹³
C(=O)-Y-R¹⁵, -C(=O)-R¹⁵
- 15 R¹²= H
(C₁-C₁₀)alkyl
(C₁-C₁₀)alkenyl
(C₁-C₁₀)alkynyl
(C₁-C₈)[(C₁-C₄)alkoxy]alkyl
- 20 (C₁-C₈)[(C₁-C₄)alkoxy]alkenyl
(C₆-C₁₀)aryl-(C₁-C₅)alkyl
(C₂-C₉)heteroaryl-(C₁-C₅)alkyl
(C₁-C₄)alkyliden-NR¹⁸R¹⁹
Y-R¹³
- 25 R¹³= independently, therapeutic agent
R¹⁵= independently, therapeutic agent
R¹⁶= H
CH₃
(C₂-C₁₀)alkyl
- 30 (C₁-C₁₀)alkenyl
(C₁-C₁₀)alkynyl

(C₁-C₈)[(C₁-C₄)alkoxy]alkyl
 (C₁-C₈)[(C₁-C₄)alkoxy]alkenyl
 (C₆-C₁₀)aryl-(C₁-C₅)alkyl
 (C₂-C₉)heteroaryl-(C₁-C₅)alkyl
 (C₁-C₄)alkyliden-NR¹⁸R¹⁹
 Y-R¹³,

R¹⁷= O-R²⁰-aryl

optionally substituted by -X'-Y- therapeutic agent, X'-therapeutic agent

wherein X' is S, O, or NH

R¹⁸, R¹⁹= independently H

(C₁-C₁₀)alkyl
 (C₁-C₁₀)alkenyl
 (C₁-C₁₀)alkynyl
 (C₁-C₈)[(C₁-C₄)alkoxy]alkyl
 (C₁-C₈)[(C₁-C₄)alkoxy]alkenyl
 (C₆-C₁₀)aryl-(C₁-C₅)alkyl
 (C₂-C₉)heteroaryl-(C₁-C₅)alkyl

R²⁰ = independently,

Halogen

(C₁-C₃)alkyl

NO₂

CN

OCH₃

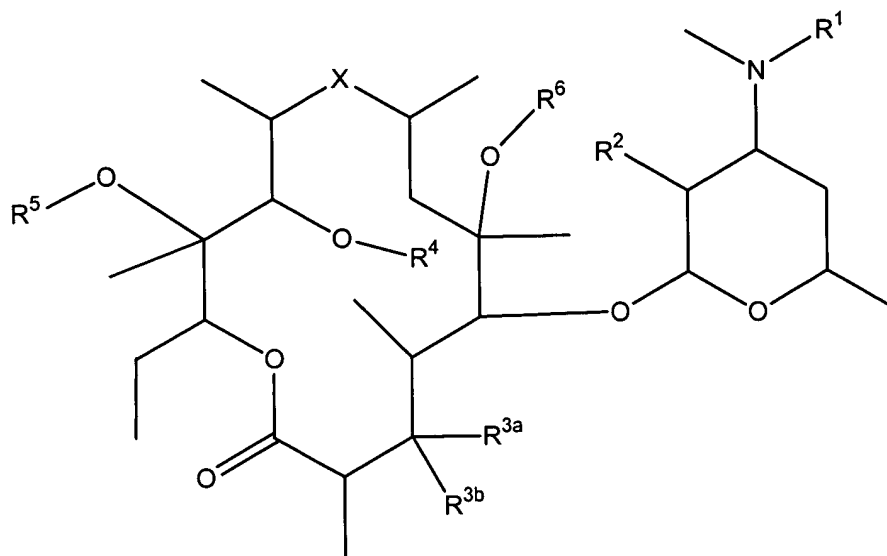
N(CH₃)₂

N₃

SH

S(C₁-C₄)alkyl.

10. The compound of claim 1, wherein the compound is



wherein:

X = N(R⁷)-CH₂

5

CH₂-N(R⁷)

C(=O)

C(=NOR⁸)

CH(OR⁹)

CH(NR¹⁰R¹¹)

10

C(=NR¹²)

OC(=O)

C(=O)O

Y = independently, linker

Z = C(=O)-

15

CH(R¹⁶)-

R¹ = H

CH₃

(C₂-C₁₀)alkyl

(C₁-C₁₀)alkenyl

20

(C₁-C₁₀)alkynyl

(C₁-C₈)[(C₁-C₄)alkoxy]alkyl

(C₁-C₈)[(C₁-C₄)alkoxy]alkenyl

(C₆-C₁₀)aryl-(C₁-C₅)alkyl
 (C₂-C₉)heteroaryl-(C₁-C₅)alkyl
 (C₁-C₄)alkyliden-NR¹⁸R¹⁹
 Y-R¹³

5 C(=O)-Y-R¹⁵
 C(=O)-R¹⁵
 S(=O)_k(C₁-C₁₀)alkyl
 S(=O)_k(C₁-C₁₀)alkenyl
 S(=O)_k(C₁-C₁₀)alkynyl
 10 S(=O)_k(C₆-C₁₀)aryl
 S(=O)_k(C₂-C₉)heteroaryl
 S(=O)_k-Y-R¹⁵
 S(=O)_k-R¹⁵

wherein k is 0, 1 or 2 and alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl
 15 and heteroaryl can optionally be substituted by one to three halogen, cyano, hydroxy, (C₁-
 C₄)alkoxy, nitro, (C₁-C₆)alkyl, (C₁-C₆)alkenyl, (C₁-C₆)alkynyl, (C₃-C₇)cycloalkyl, (C₁-
 C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, NR¹⁸R¹⁹, R¹⁸C(=O)-, R¹⁸C(=O)O-,
 R¹⁸OC(=O)-, R¹⁸C(=O)NH-, R¹⁸NHC(=O)-, R¹⁸R¹⁹NC(=O)- or R¹⁸OC(=O)-O-

R² = H

20 (1',2'-cis)-OH
 (1',2'-trans)-OH
 (1',2'-cis)-OR¹⁵
 (1',2'-trans)-OR¹⁵
 (1',2'-cis)-SH
 25 (1',2'-cis)-S-Y-R¹³

or the R¹ and R² bearing atoms are connected via a -OC(=O)CHR¹⁶- element

R^{3a}, R^{3b} = independently H

R¹

OH

30 OR¹¹

NR¹⁰R¹¹

or $R^{3a} = R^{3b} = (=O)$,
 $(=NR^1)$
 $O(CH_2)_kO-$ wherein k is 2 or 3

$R^4 = H$

5

$C(=O)-Y-R^{15}$

$C(=O)-R^{15}$

$R^5 = H$

or R^4, R^5 are connected by $-Z-$

$R^6 = H$

10

CH_3

$R^7 = H$

CH_3

$Y-R^{13}$

$C(=O)-Y-R^{15}$

15

$C(=O)-R^{15}$

$R^8 = H$

$Y-R^{13}$

$C(=O)-R^{17}$

$R^9 = H$

20

$(C_1-C_{10})alkyl$

$(C_1-C_{10})alkenyl$

$(C_1-C_{10})alkynyl$

$(C_1-C_8)[(C_1-C_4)alkoxy]alkyl$

$(C_1-C_8)[(C_1-C_4)alkoxy]alkenyl$

25

$(C_6-C_{10})aryl-(C_1-C_5)alkyl$

$(C_2-C_9)heteroaryl-(C_1-C_5)alkyl$

$R^{10}, R^{11} =$ independently H

$(C_1-C_{10})alkyl$

$(C_1-C_{10})alkenyl$

30

$(C_1-C_{10})alkynyl$

$(C_3-C_{10})cycloalkyl$

(C₁-C₉)heterocycloalkyl(C₆-C₁₀)aryl(C₂-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl are
 5 optionally substituted by one to three halogen, cyano, hydroxy, (C₁-C₄)alkyloxy, nitro, (C₁-
 C₆)alkyl, (C₁-C₆)alkenyl, (C₁-C₆)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-
 C₁₀)aryl, (C₁-C₉)heteroaryl, NR¹⁸R¹⁹, R¹⁸C(=O)-, R¹⁸C(=O)O-, R¹⁸OC(=O)-, R¹⁸C(=O)NH-,
 R¹⁸NHC(=O)-, R¹⁸R¹⁹NC(=O)- or R¹⁸OC(=O)-O-

or R¹⁰ = H and

10

R¹¹ = Y-R¹³C(=O)-Y-R¹⁵C(=O)-R¹⁵S(=O)_k(C₁-C₁₀)alkylS(=O)_k(C₁-C₁₀)alkenyl

15

S(=O)_k(C₁-C₁₀)alkynylS(=O)_k(C₆-C₁₀)arylS(=O)_k(C₂-C₉)heteroarylS(=O)_k-Y-R¹⁵S(=O)_k-R¹⁵

20

wherein k is 0, 1 or 2 and alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl
 and heteroaryl can be substituted as defined above.

R¹² = H(C₁-C₁₀)alkyl(C₁-C₁₀)alkenyl

25

(C₁-C₁₀)alkynyl(C₁-C₈)[(C₁-C₄)alkoxy]alkyl(C₁-C₈)[(C₁-C₄)alkoxy]alkenyl(C₆-C₁₀)aryl-(C₁-C₅)alkyl(C₂-C₉)heteroaryl-(C₁-C₅)alkyl

30

(C₁-C₄)alkyliden-NR¹⁸R¹⁹Y-R¹³

R^{13} = independently, therapeutic agent

R^{15} = independently, therapeutic agent

R^{16} = H

CH₃

5 (C₂-C₁₀)alkyl

(C₁-C₁₀)alkenyl

(C₁-C₁₀)alkynyl

(C₁-C₈)[(C₁-C₄)alkoxy]alkyl

(C₁-C₈)[(C₁-C₄)alkoxy]alkenyl

10 (C₆-C₁₀)aryl-(C₁-C₅)alkyl

(C₂-C₉)heteroaryl-(C₁-C₅)alkyl

(C₁-C₄)alkyliden-NR¹⁸R¹⁹

Y-R¹³

R^{17} = O-R²⁰-aryl

15 optionally substituted by -X'-Y-a therapeutic agent, X'-a therapeutic agent

wherein X' is

S, O, NH

R^{18} , R^{19} = independently H

(C₁-C₁₀)alkyl

20 (C₁-C₁₀)alkenyl

(C₁-C₁₀)alkynyl

(C₁-C₈)[(C₁-C₄)alkoxy]alkyl

(C₁-C₈)[(C₁-C₄)alkoxy]alkenyl

(C₆-C₁₀)aryl-(C₁-C₅)alkyl

25 (C₂-C₉)heteroaryl-(C₁-C₅)alkyl

R^{20} = independently,

Halogen

(C₁-C₃)alkyl

NO₂

30 CN

OCH₃

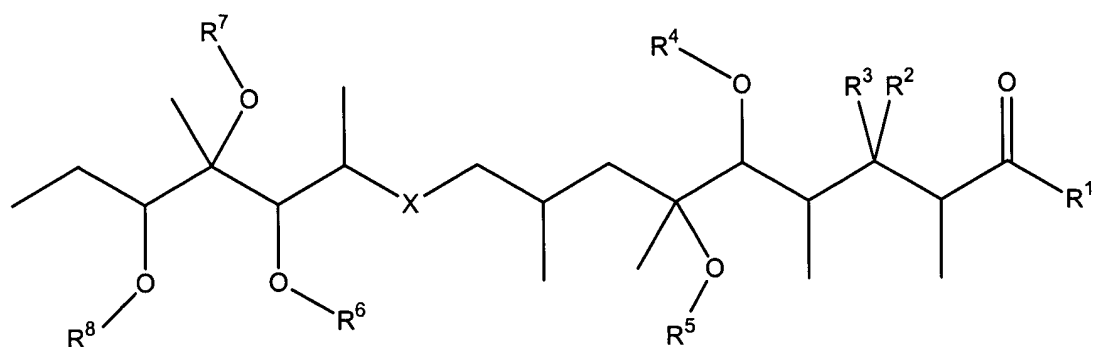
N(CH₃)₂N₃

SH

S(C₁-C₄)alkyl.

5

11. The compound of claim 1, wherein the compound is



10

wherein

X = N(R⁹)-CH₂CH₂-N(R⁹)

C(=O)

15

C(=NOR¹⁰)C(OR¹¹)HCH(NR¹²R¹³)C(=NR¹⁴)

OC(=O)

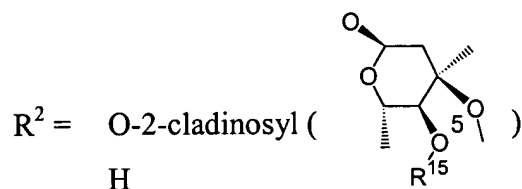
20

C(=O)O

Y = independently, linker

R¹ = OR¹⁷NR¹⁷R¹⁸,

or R¹ is connected to the oxygen bearing R⁴ or R⁵ forming a lactone or is connected to
 25 a suitable substituent in R² forming a lactone or lactam,



X', wherein X' = halogen

azido

nitro

cyano

OR¹⁷

OR²²

NR¹⁷R¹⁸

SR¹⁷ (C₁-C₆)alkyl

(C₁-C₆)alkenyl

(C₁-C₆)alkynyl

(C₃-C₁₀)cycloalkyl

(C₁-C₉)heterocycloalkyl

(C₆-C₁₀)aryl

(C₁-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen,

(C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto,

R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-,

R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-, -Y- therapeutic agent or -therapeutic agent,

R³ = H

(C₁-C₆)alkyl

(C₁-C₆)alkenyl

(C₁-C₆)alkynyl

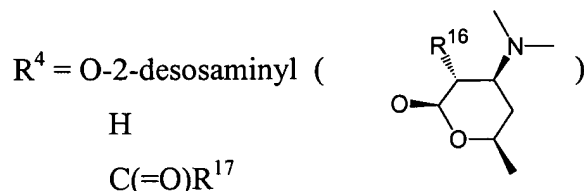
(C₃-C₁₀)cycloalkyl

(C₁-C₉)heterocycloalkyl

(C₆-C₁₀)aryl

(C₁-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl,
 5 (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, or R²⁰R²¹N-



Y- therapeutic agent

15

therapeutic agent

S(=O)₂R¹⁷ providing R¹⁷ is not hydrogen

C(=O)NR¹⁷R¹⁸ (C₁-C₆)alkyl

(C₁-C₆)alkenyl

(C₁-C₆)alkynyl

20

(C₃-C₁₀)cycloalkyl

(C₁-C₉)heterocycloalkyl

(C₆-C₁₀)aryl

(C₁-C₉)heteroaryl

25

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-, -Y- therapeutic agent or -therapeutic agent,

30

or R⁴ is connected to a suitable R² containing a N or a O by -C(=O), S(=O)_n

wherein n = 1 or 2, -CR²⁰R¹⁷-, CR²⁰(-Y- therapeutic agent)-, -CR²⁰(- therapeutic agent)- forming in dependence of R² a 6 or 7-membered ring,

R⁵ = R²⁰

C(=O)R²⁰

or R^4 , R^5 are connected by $C(=O)$, $S(=O)_n$ wherein $n = 1$ or 2 , $-CR^{20}R^{17}$ -, $CR^{20}(-Y$ -
therapeutic agent)-, $-CR^{20}(-$ therapeutic agent)-

R^6 , R^8 = independently H
(C₁-C₆)alkyl
5 (C₁-C₆)alkenyl
(C₁-C₆)alkynyl
(C₃-C₁₀)cycloalkyl
(C₁-C₉)heterocycloalkyl
(C₆-C₁₀)aryl
10 (C₁-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups
are optionally substituted by one to five substituents selected independently from halogen,
(C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl,
(C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto,
15 $R^{20}R^{21}N$ -, $R^{20}C(=O)$ -, $R^{20}C(=O)O$ -, $R^{20}OC(=O)$ -, $R^{20}NHC(=O)$ -, $R^{20}C(=O)NH$ -,
 $R^{20}R^{21}NC(=O)$ -, and $R^{20}OC(=O)O$ -, -Y- therapeutic agent or -therapeutic agent,

or R^6 , R^8 = independently $-C(=O)R^{17}$ -, -Y- therapeutic agent, - therapeutic agent, -
 $S(=O)_2R^{17}$ providing R^{17} is not hydrogen, $-C(=O)NR^{17}R^{18}$,

R^7 = H
20 (C₁-C₆)alkyl
(C₁-C₆)alkenyl
(C₁-C₆)alkynyl
(C₃-C₁₀)cycloalkyl
(C₁-C₉)heterocycloalkyl
25 (C₆-C₁₀)aryl
(C₁-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups
are optionally substituted by one to five substituents selected independently from halogen,
(C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl,
30 (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto,

$R^{20}R^{21}N-$, $R^{20}C(=O)-$, $R^{20}C(=O)O-$, $R^{20}OC(=O)-$, $R^{20}NHC(=O)-$, $R^{20}C(=O)NH-$,
 $R^{20}R^{21}NC(=O)-$, and $R^{20}OC(=O)O-$, -Y- therapeutic agent or -therapeutic agent,
 or two of each R^6 , R^7 , R^8 are connected by $-C(=O)$, $S(=O)_n$ wherein $n = 1$ or 2 , -
 $CR^{20}R^{17}-$, $CR^{20}(-Y- \text{therapeutic agent})-$, $-CR^{20}(-\text{therapeutic agent})-$,

5 $R^9 = H$

CH_3

Y-therapeutic agent

therapeutic agent

(C_1-C_6) alkyl

10 (C_1-C_6) alkenyl

(C_1-C_6) alkynyl,

wherein alkyl, alkenyl, alkynyl groups are optionally substituted by one to five
 substituents selected independently from halogen, (C_1-C_4) alkyl, (C_1-C_4) alkenyl, $(C_1-$
 $C_4)$ alkynyl, (C_3-C_7) cycloalkyl, (C_1-C_6) heterocycloalkyl, (C_6-C_{10}) aryl, (C_1-C_9) heteroaryl, $(C_1-$
 15 $C_4)$ alkoxy, hydroxy, nitro, cyano, azido, mercapto, $R^{20}R^{21}N-$, $R^{20}C(=O)-$, $R^{20}C(=O)O-$,
 $R^{20}OC(=O)-$, $R^{20}NHC(=O)-$, $R^{20}C(=O)NH-$, $R^{20}R^{21}NC(=O)-$, and $R^{20}OC(=O)O-$, -Y-
 therapeutic agent or -therapeutic agent,

$R^{10} = C(=O)-\text{aryl}$

therapeutic agent,

20 H

(C_1-C_6) alkyl

(C_1-C_6) alkenyl

(C_1-C_6) alkynyl,

wherein alkyl, alkenyl, alkynyl groups are optionally substituted by one to five
 25 substituents selected independently from halogen, (C_1-C_4) alkyl, (C_1-C_4) alkenyl, $(C_1-$
 $C_4)$ alkynyl, (C_3-C_7) cycloalkyl, (C_1-C_6) heterocycloalkyl, (C_6-C_{10}) aryl, (C_1-C_9) heteroaryl, $(C_1-$
 $C_4)$ alkoxy, hydroxy, nitro, cyano, azido, mercapto, $R^{20}R^{21}N-$, $R^{20}C(=O)-$, $R^{20}C(=O)O-$,
 $R^{20}OC(=O)-$, $R^{20}NHC(=O)-$, $R^{20}C(=O)NH-$, $R^{20}R^{21}NC(=O)-$, and $R^{20}OC(=O)O-$, -Y-
 therapeutic agent or -therapeutic agent

30 $R^{11} = H$

(C_1-C_6) alkyl

(C₁-C₆)alkenyl

(C₁-C₆)alkynyl,

wherein alkyl, alkenyl, alkynyl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, R²⁰OC(=O)O-, -Y- therapeutic agent or -therapeutic agent,

or R¹¹ = -Y- therapeutic agent, - therapeutic agent, -C(=O)R¹⁷

10 R¹², R¹³ = independently H
 (C₁-C₆)alkyl
 (C₁-C₆)alkenyl
 (C₁-C₆)alkynyl
 (C₃-C₁₀)cycloalkyl
 15 (C₁-C₉)heterocycloalkyl
 (C₆-C₁₀)aryl
 (C₁-C₉)heteroaryl,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, R²⁰OC(=O)O-, -Y- therapeutic agent or -therapeutic agent,

or R¹², R¹³ = independently -C(=O)R¹⁷, -Y- therapeutic agent, - therapeutic agent, -S(=O)₂R¹⁷ providing R¹⁷ is not hydrogen, -C(=O)NR¹⁷R¹⁸

R¹⁴ = therapeutic agent

H

(C₁-C₆)alkyl

(C₁-C₆)alkenyl

30 (C₁-C₆)alkynyl

(C₃-C₁₀)cycloalkyl

(C₁-C₉)heterocycloalkyl(C₆-C₁₀)aryl(C₁-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups

5 are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, R²⁰OC(=O)O-, -Y- therapeutic agent or -therapeutic agent,

10 R¹⁵ = HC(=O)R¹⁷

Y- therapeutic agent,

therapeutic agent,

S(=O)₂R¹⁷ providing R¹⁷ is not hydrogen15 C(=O)NR¹⁷R¹⁸(C₁-C₆)alkyl(C₁-C₆)alkenyl(C₁-C₆)alkynyl(C₃-C₁₀)cycloalkyl20 (C₁-C₉)heterocycloalkyl(C₆-C₁₀)aryl(C₁-C₉)heteroaryl,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen,

25 (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-, -Y- therapeutic agent or -therapeutic agent,

R¹⁶ = H30 OR¹⁷OR²²

$R^{17}, R^{18} =$ independently H
 (C₁-C₆)alkyl
 (C₁-C₆)alkenyl
 (C₁-C₆)alkynyl
 (C₃-C₁₀)cycloalkyl
 (C₁-C₉)heterocycloalkyl
 (C₆-C₁₀)aryl
 (C₁-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups
 are optionally substituted by one to five substituents selected independently from halogen,
 (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl,
 (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto,
 $R^{20}R^{21}N-$, $R^{20}C(=O)-$, $R^{20}C(=O)O-$, $R^{20}OC(=O)-$, $R^{20}NHC(=O)-$, $R^{20}C(=O)NH-$,
 $R^{20}R^{21}NC(=O)-$, and $R^{20}OC(=O)O-$, -Y- therapeutic agent or -therapeutic agent,

or provided that connected to a nitrogen, R^{17}, R^{18} may form a cyclic structure of 4 to 7
 members (including the nitrogen). R^{17} and R^{18} then can represent a fragment from the type of
 $-[C(AB)]_m-\Xi_n-[C(DE)]_o-\Psi_p-[C(GJ)]_q$ wherein m, n, o, p and q independently are 0, 1, 2, 3, 4,
 5, or 6, Ξ and Ψ independently are -O-, -S-, -NK- and A, B, D, E, G, J, and K independently
 are hydrogen, (C₁-C₄) alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-
 C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano,
 azido, mercapto, $R^{20}R^{21}N-$, $R^{20}C(=O)-$, $R^{20}C(=O)O-$, $R^{20}OC(=O)-$, $R^{20}NHC(=O)-$,
 $R^{20}C(=O)NH-$, $R^{20}R^{21}NC(=O)-$, and $R^{20}OC(=O)O-$

$R^{20}, R^{21} =$ independently H
 (C₁-C₆)alkyl

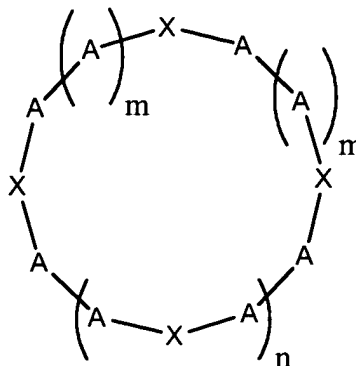
$R^{22} = C(=O)R^{17}$

Y- therapeutic agent

therapeutic agent,

$S(=O)_2R^{17}$ providing R^{17} is not hydrogen, $-C(=O)NR^{17}R^{18}$.

12. The compound of claim 1, wherein the compound is



wherein:

$m =$ independently, 0, 1, 2, 3

$n =$ 0 – 7

5 $X =$ independently, O
S
Se
NR¹
PR¹

10 with the proviso, that at least one $X = -NR^1-$

$A =$ independently, CH₂
CHR²
CR²R³
C(=O)

15 with the proviso, that at least one $X = -NR^1-$ is not an amide

$R^1 =$ independently, H

(C₁-C₁₀)alkyl, optionally substituted by fluoro, cyano, R⁴, R⁴O₂C,

R⁴C(=O)NH and R⁴S(=O)_k wherein k is 0, 1 or 2

R⁴C(=O), R⁴S(=O)_k wherein k is 0, 1 or 2

20 $R^2, R^3 =$ independently NH₂

NHR¹

NR¹R⁵

OH,

OR⁴

25 R⁴C(=O) (C₁-C₆)alkyl

(C₂-C₁₂)alkenyl
 (C₂-C₁₂)alkynyl
 (C₃-C₁₀)cycloalkyl(C₁-C₆)alkyl
 (C₂-C₉)heterocycloalkyl(C₁-C₆)alkyl
 5 (C₆-C₁₀)aryl(C₁-C₆)alkyl
 (C₂-C₉)heteroaryl(C₁-C₆)alkyl,

wherein the alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl groups are optionally substituted by one to three halo, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, -C(=O)-OR⁸, -C(=O)N(H)R⁸, (C₆-C₁₀)aryl, (C₂-C₉)heteroaryl, N*R⁵R⁶R⁷ wherein * is no or a positive charge, one or two of R², R³ can be a directly coupled therapeutic agent,

R⁴ = independently,

NH₂
 NHR⁹
 NR⁹R⁵

15 OH
 OR⁹
 (C₁-C₆)alkyl

(C₂-C₁₂)alkenyl
 (C₂-C₁₂)alkynyl
 20 (C₃-C₁₀)cycloalkyl(C₁-C₆)alkyl
 (C₂-C₉)heterocycloalkyl(C₁-C₆)alkyl
 (C₆-C₁₀)aryl(C₁-C₆)alkyl
 (C₂-C₉)heteroaryl(C₁-C₆)alkyl,

wherein the alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl groups are optionally substituted by one to three halo, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, R⁸, -C(=O)-OR⁸, -C(=O)N(H)R⁸, (C₆-C₁₀)aryl, (C₂-C₉)heteroaryl, N*R⁵R⁶R⁷ wherein * is no or a positive charge, or

a therapeutic agent,

R⁵, R⁶ = independently H

30 (C₁-C₆), optionally substituted by hydroxy
 (C₆-C₁₀)aryl

(C₂-C₉)heteroaryl

R⁷ = independently,
lone electron pair

CH₃

5

C₂H₅C₃H₇CH₂-C₆H₅

R⁸ = independently, therapeutic agent

R⁹ = independently,

10

(C₁-C₆) alkyl(C₂-C₁₂)alkenyl(C₂-C₁₂)alkynyl(C₃-C₁₀)cycloalkyl(C₁-C₆)alkyl(C₂-C₉)heterocycloalkyl(C₁-C₆)alkyl

15

(C₆-C₁₀)aryl(C₁-C₆)alkyl or(C₂-C₉)heteroaryl(C₁-C₆)alkyl,

wherein the alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl groups are optionally substituted by one to three halo, (C₁-C₄)alkoxy, hydroxy, nitro, cyano,

R⁸, -C(=O)-OR⁸, -C(=O)N(H)R⁸, (C₆-C₁₀)aryl, (C₂-C₉)heteroaryl, N*R⁵R⁶R⁷ wherein * is no

20 or a positive charge, or

a therapeutic agent.

13. The compound of claim 1, wherein the linker is

(C₁-C₈)alkyl,

25

(C₁-C₈)alkenyl,(C₁-C₈)alkynyl,(C₃-C₁₀)cycloalkyl,(C₆-C₁₀)aryl,(C₂-C₉)heteroalkyl, or

30

(C₂-C₉)heteroaryl,

wherein alkyl-, alkenyl, alkynyl, cycloalkyl, aryl or heteroaryl spacing elements are optionally substituted by (C₁-C₆)alkyl, 1-4 halogens, (C₁-C₄)alkoxy, (C₁-C₄)alkoxycarbonyl, hydroxy, amino, (C₁-C₄)alkylamino, (C₁-C₄)dialkylamino, (C₃-C₁₀)cycloalkyl, (C₁-C₆)alkylcarbonyloxy, (C₁-C₆)alkylcarbonylamido, (C₁-C₄)alkylamidocarbonyl, (C₁-C₄)dialkylamidocarbonyl, nitro, cyano, (C₁-C₄)alkylimino, mercapto or (C₁-C₄)alkylmercapto.

14. The compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-inflammatory agent.

15. The compound of claim 1, wherein the anti-inflammatory agent is a protein kinase inhibitor, a protease inhibitor, or an HMGCoA reductase inhibitor.

16. The compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-infectious agent.

17. The compound of claim 1, wherein the anti-infectious agent is a protease inhibitor.

18. The compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-cancer agent.

19. The compound of claim 1, wherein the non-antibiotic therapeutic agent is a fluorescent molecule useful in diagnostic or exploratory applications.

20. The compound of claim 1, wherein the non-antibiotic therapeutic agent is an immune-suppressant agent.

21. The compound of claim 1, wherein the immune-suppressant agent is an analog of vitamin D or a statin.

22. The compound of claim 1, wherein the non-antibiotic therapeutic agent is an agent for treating a hematopoietic disorder.

23. The compound of claim 1, wherein the non-antibiotic therapeutic agent is an
5 agent for treating a metabolic disease.

24. The compound of claim 1, wherein the metabolic disease is excessive coagulation, or hypercholesterolemia.

10 25. A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

26. A method of treating an inflammatory disorder, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-
15 antibiotic therapeutic agent is an anti-inflammatory agent.

27. A method of treating an infectious disease, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-infectious agent.

20

28. A method of treating cancer, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-cancer agent.

25 29. A method of treating allergy, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an allergy-suppressive agent.

30 30. A method of treating an immune disorder, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an immune-suppressant agent.